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NEWS 8 OCT 28 BIOSIS file segment of TOXCENTER reloaded and enhanced
NEWS 9 NOV 24 MSDS-CCOHS file reloaded
NEWS 10 DEC 08 CABA reloaded with left truncation
NEWS 11 DEC 08 IMS file names changed
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in REGISTRY
NEWS 13 DEC 09 STN Entry Date available for display in REGISTRY and CA/Caplus
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NEWS 15 DEC 18 BIOTECHNO no longer updated
NEWS 16 DEC 19 CROPU no longer updated; subscriber discount no longer
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NEWS 18 DEC 22 IFIPAT/IFIUDB/IFICDB reloaded with new data and search fields
NEWS 19 DEC 22 ABI-INFORM now available on STN
NEWS 20 JAN 27 Source of Registration (SR) information in REGISTRY updated
and searchable
NEWS 21 JAN 27 A new search aid, the Company Name Thesaurus, available in
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NEWS 22 FEB 05 German (DE) application and patent publication number format
changes

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AND CURRENT DISCOVER FILE IS DATED 23 SEPTEMBER 2003
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FILE COVERS 1907 - 27 Feb 2004 VOL 140 ISS 10

FILE LAST UPDATED: 26 Feb 2004 (20040226/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s epothilone?

L1 607 EPOTHILONE?

=> s l1 and ~~epothilone~~(w)A

548 EPOTHILONE

325 EPOTHILONES

607 EPOTHILONE

(EPOTHILONE OR EPOTHILONES)

17684704 A

294 EPOTHILONE(W)A

L2 294 L1 AND EPOTHILONE(W)A

=> s l1 and epothilone(w)B

548 EPOTHILONE

325 EPOTHILONES

607 EPOTHILONE

(EPOTHILONE OR EPOTHILONES)

1410193 B

300 EPOTHILONE(W)B

L3 300 L1 AND EPOTHILONE(W)B

=> S L1 AND PY<=1995

16580008 PY<=1995

L4 2 L1 AND PY<=1995

10602770

=> S L2 AND PY<=1995
16580008 PY<=1995
L5 2 L2 AND PY<=1995

=> S L3 AND PY<=1995
16580008 PY<=1995
L6 2 L3 AND PY<=1995

=> S L1 AND P/DT
4289280 P/DT
L7 194 L1 AND P/DT

=> S L1 AND PC/US
'US' IS NOT A VALID FIELD CODE
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L8 0 L1 AND PC/US

=> S L1 AND US/PC
1257695 US/PC
L9 116 L1 AND US/PC

=> S L9 AND PY<=1996
17346007 PY<=1996
L10 0 L9 AND PY<=1996

=> S L9 AND PY<=1995
16580008 PY<=1995
L11 0 L9 AND PY<=1995

=> d l4 ibib abs hitstr tot

L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1995:593903 CAPLUS

DOCUMENT NUMBER: 123:25342

TITLE: **Epothilones**, a new class of
microtubule-stabilizing agents with a taxol-like
mechanism of action

AUTHOR(S): Bollag, Daniel M.; McQueney, Patricia A.; Zhu, Jian;
Hensens, Otto; Koupal, Lawrence; Liesch, Jerrold;
Goetz, Michael; Lazarides, Elias; Woods, Catherine M.

CORPORATE SOURCE: Dep. Pharmacology, Merck Res. Laboratories, West
Point, PA, 19486, USA

SOURCE: Cancer Research (1995), 55(11), 2325-33
CODEN: CNREA8; ISSN: 0008-5472

PUBLISHER: American Association for Cancer Research

DOCUMENT TYPE: Journal

LANGUAGE: English

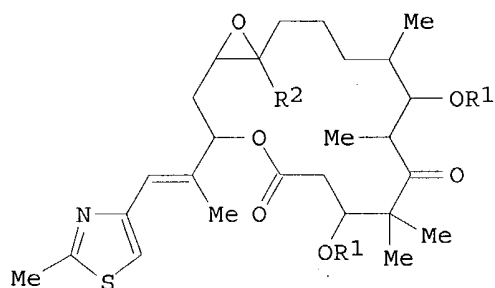
AB The antineoplastic agent taxol hyperstabilizes polymerized microtubules, leading to mitotic arrest and cytotoxicity in proliferating cells. By using a sensitive filtration-calorimetric assay to detect microtubule nucleating activity, **epothilones** A and B were identified as compds. that possess all the biol. effects of taxol both in vitro and in cultured cells. The 2 **epothilones** were equipotent and exhibited kinetics similar to those of taxol in inducing tubulin polymerization to microtubules in vitro and in producing enhanced microtubule stability and bundling in cultured cells. Furthermore, these 16-membered macrolides were competitive inhibitors of [3H]taxol binding, exhibiting an IC50 almost identical to that of taxol in displacement competition assays. Like taxol, the **epothilones** also caused cell cycle arrest at the

02/27/2004

G2-M transition, leading to cytotoxicity. In contrast to taxol, the **epothilones** retained much greater toxicity against P-glycoprotein-expressing multiple-drug-resistant cells. **Epothilones**, therefore, represent a novel structural class of compds. which not only mimic the biol. effects of taxol but also appear to bind to the same microtubule-binding site as taxol.

L4 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 1994:52841 CAPLUS
 DOCUMENT NUMBER: 120:52841
 TITLE: **Epothilone** derivatives
 INVENTOR(S): Hoefle, Gerhard; Bedorf, Norbert; Gerth, Klaus; Reichenbach, Hans
 PATENT ASSIGNEE(S): Gesellschaft fuer Biotechnologische Forschung mbH (GBF), Germany
 SOURCE: Ger. Offen., 10 pp.
 CODEN: GWXXBX
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 4138042	A1	19930527	DE 1991-4138042	19911119 <--
DE 4138042	C2	19931014		
WO 9310121	A1	19930527	WO 1992-EP2656	19921119 <--
W: AU, CA, FI, HU, JP, KR, NO, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, SE				
AU 9229437	A1	19930615	AU 1992-29437	19921119 <--
PRIORITY APPLN. INFO.:			DE 1991-4138042	19911119
			WO 1992-EP2656	19921119
OTHER SOURCE(S):		MARPAT 120:52841		
GI				



I

AB Fungicidal antibiotic **epothilones** I (R1 = H, alkyl, acyl, Li, etc.; R2 = H, Me) and a fermentative process for their preparation are claimed. The process for their preparation comprises the fermentation of *Sorangium cellulosum* in the presence of a resin. During the fermentation epothilone A (R1 = R2 = H) and **epothilone** B (R1 = H, R2 = Me) are bound to the resin. Agrochem. fungicides containing **epothilone** A and **epothilone** B are claimed.

=> d 15 ibib abs hitstr tot

L5 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1995:593903 CAPLUS

DOCUMENT NUMBER: 123:25342

TITLE: **Epothilones**, a new class of microtubule-stabilizing agents with a taxol-like mechanism of action

AUTHOR(S): Bollag, Daniel M.; McQueney, Patricia A.; Zhu, Jian; Hensens, Otto; Koupal, Lawrence; Liesch, Jerrold; Goetz, Michael; Lazarides, Elias; Woods, Catherine M.

CORPORATE SOURCE: Dep. Pharmacology, Merck Res. Laboratories, West Point, PA, 19486, USA

SOURCE: Cancer Research (1995), 55(11), 2325-33

CODEN: CNREA8; ISSN: 0008-5472

PUBLISHER: American Association for Cancer Research

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The antineoplastic agent taxol hyperstabilizes polymerized microtubules, leading to mitotic arrest and cytotoxicity in proliferating cells. By using a sensitive filtration-calorimetric assay to detect microtubule nucleating activity, **epothilones A** and **B** were identified as compds. that possess all the biol. effects of taxol both in vitro and in cultured cells. The 2 **epothilones** were equipotent and exhibited kinetics similar to those of taxol in inducing tubulin polymerization to microtubules in vitro and in producing enhanced microtubule stability and bundling in cultured cells. Furthermore, these 16-membered macrolides were competitive inhibitors of [3H]taxol binding, exhibiting an IC50 almost identical to that of taxol in displacement competition assays. Like taxol, the **epothilones** also caused cell cycle arrest at the G2-M transition, leading to cytotoxicity. In contrast to taxol, the **epothilones** retained much greater toxicity against P-glycoprotein-expressing multiple-drug-resistant cells. **Epothilones**, therefore, represent a novel structural class of compds. which not only mimic the biol. effects of taxol but also appear to bind to the same microtubule-binding site as taxol.

L5 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1994:52841 CAPLUS

DOCUMENT NUMBER: 120:52841

TITLE: **Epothilone** derivatives

INVENTOR(S): Hoeftle, Gerhard; Bedorf, Norbert; Gerth, Klaus; Reichenbach, Hans

PATENT ASSIGNEE(S): Gesellschaft fuer Biotechnologische Forschung mbH (GBF), Germany

SOURCE: Ger. Offen., 10 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent

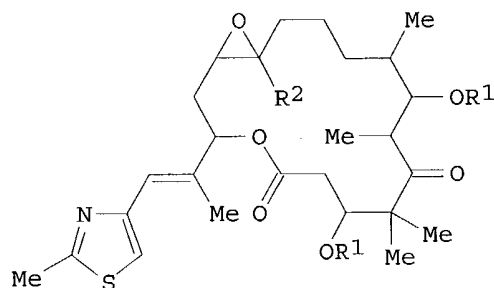
LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 4138042	A1	19930527	DE 1991-4138042	19911119 <--
DE 4138042	C2	19931014		
WO 9310121	A1	19930527	WO 1992-EP2656	19921119 <--
W: AU, CA, FI, HU, JP, KR, NO, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, SE				

OTHER SOURCE(S) : MARPAT 120:52841
GT



AB Fungicidal antibiotic **epothilones** I (R1 = H, alkyl, acyl, Li, etc.; R2 = H, Me) and a fermentative process for their preparation are claimed. The process for their preparation comprises the fermentation of *Sorangium cellulosum* in the presence of a resin. During the fermentation epothilon A (R1 = R2 = H) and **epothilone** B (R1 = H, R2 = Me) are bound to the resin. Agrochem. fungicides containing **epothilone A** and **epothilone** B are claimed.

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=> d 16 ibib abs hitstr tot
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L6 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1995:593903 CAPLUS

DOCUMENT NUMBER: 123:25342

TITLE: **Epothilones**, a new class of
microtubule-stabilizing agents with a taxol-like
mechanism of action

AUTHOR(S): Bollag, Daniel M.; McQueney, Patricia A.; Zhu, Jian;
Hensens, Otto; Koupal, Lawrence; Liesch, Jerrold;
Goetz, Michael; Lazarides, Elias; Woods, Catherine M.

CORPORATE SOURCE: Dep. Pharmacology, Merck Res. Laboratories, West Point, PA, 19486, USA

SOURCE: Cancer Research (1995), 55(11), 2325-33

CODEN: CNREA8; ISSN: 0008-5472

PUBLISHER: American Association for Cancer Research

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The antineoplastic agent taxol hyperstabilizes polymerized microtubules, leading to mitotic arrest and cytotoxicity in proliferating cells. By using a sensitive filtration-calorimetric assay to detect microtubule nucleating activity, **epothilones** A and B were identified as compds. that possess all the biol. effects of taxol both in vitro and in cultured cells. The 2 **epothilones** were equipotent and exhibited kinetics similar to those of taxol in inducing tubulin polymerization to microtubules in vitro and in producing enhanced microtubule stability and bundling in cultured cells. Furthermore, these 16-membered macrolides were competitive inhibitors of [3H]taxol binding, exhibiting an IC50 almost identical to that of taxol in displacement competition assays. Like taxol, the **epothilones** also caused cell cycle arrest at the

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G2-M transition, leading to cytotoxicity. In contrast to taxol, the **epothilones** retained much greater toxicity against P-glycoprotein-expressing multiple-drug-resistant cells. **Epothilones**, therefore, represent a novel structural class of compds. which not only mimic the biol. effects of taxol but also appear to bind to the same microtubule-binding site as taxol.

L6 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1994:52841 CAPLUS

DOCUMENT NUMBER: 120:52841

TITLE: **Epothilone** derivatives

INVENTOR(S): Hoefle, Gerhard; Bedorf, Norbert; Gerth, Klaus; Reichenbach, Hans

PATENT ASSIGNEE(S): Gesellschaft fuer Biotechnologische Forschung mbH (GBF), Germany

SOURCE: Ger. Offen., 10 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

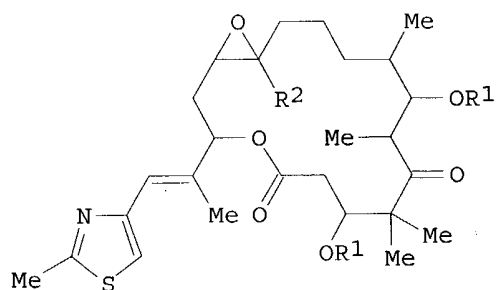
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 4138042	A1	19930527	DE 1991-4138042	19911119 <--
DE 4138042	C2	19931014		
WO 9310121	A1	19930527	WO 1992-EP2656	19921119 <--
W: AU, CA, FI, HU, JP, KR, NO, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, SE				
AU 9229437	A1	19930615	AU 1992-29437	19921119 <--
PRIORITY APPLN. INFO.:			DE 1991-4138042	19911119
			WO 1992-EP2656	19921119

OTHER SOURCE(S): MARPAT 120:52841

GI



AB Fungicidal antibiotic **epothilones** I (R1 = H, alkyl, acyl, Li, etc.; R2 = H, Me) and a fermentative process for their preparation are claimed. The process for their preparation comprises the fermentation of *Sorangium cellulosum* in the presence of a resin. During the fermentation **epothilone A** (R1 = R2 = H) and **epothilone B** (R1 = H, R2 = Me) are bound to the resin. Agrochem. fungicides containing **epothilone A** and **epothilone B** are claimed.

=> d 19 ibib abs hitstr 1-10

L9 ANSWER 1 OF 116 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:120619 CAPLUS
TITLE: Method for synthesizing **epothilones** and
epothilone analogs
INVENTOR(S): White, James David; Sundermann, Kurt Frederick;
Carter, Rich Garrett
PATENT ASSIGNEE(S): Oregon State University, USA
SOURCE: U.S. Pat. Appl. Publ., 36 pp., Cont.-in-part of U.S.
Ser. No. 846,154.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004030147	A1	20040212	US 2003-354694	20030129 <--
US 2002062030	A1	20020523	US 2001-846154	20010430 <--
US 6596875	B2	20030722		

PRIORITY APPLN. INFO.:
US 2001-846154 A2 20010430
US 1999-118883P P 19990205
US 2000-499596 B2 20000207

AB A method for making **epothilones** and **epothilone** analogs is described, as are novel compds. made by the method. Exemplary novel compds. include those according to the formula: 1 With respect the formula, G is selected from the group consisting of 2 R 2 substituents independently are selected from the group consisting of H and lower alkyl groups; Z is selected from the group consisting of the halogens and -CN; M is selected from the group consisting of O and NR 3 ; R 3 is selected from the group consisting of H, lower alkyl, R 4 CO, R 4 OCO, and R 4 SO 2 ; R 4 is selected from the group consisting of H, lower alkyl, and aryl; T is selected from the group consisting of CH 2 , CO, HCOH and protected derivs. thereof; W is H or OR; and X and Y independently are selected from the group consisting of O, NH, S, CO, and C. Embodiments of the method provide convenient access to analogs of the **epothilones**, such as those having alternate stereochem. and those containing an ester, amide, thioester, or alkyne moieties in the macrocycle.

L9 ANSWER 2 OF 116 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:100803 CAPLUS
DOCUMENT NUMBER: 140:139483
TITLE: Method for enhancing the effectiveness of therapies of
hyperproliferative diseases
INVENTOR(S): Chang, Yan; Sasak, Vodek
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 14 pp., Cont.-in-part of U.S.
Ser. No. 176,235.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004023925	A1	20040205	US 2003-408723	20030407 <--

02/27/2004

US 2003013681 A1 20030116 US 2002-176235 20020620 <--
US 6680306 B2 20040120
PRIORITY APPLN. INFO.: US 2001-299991P P 20010621
US 2002-176235 A2 20020620

AB The efficacy of conventional cancer therapies such as surgery, chemotherapy and radiation is enhanced by the use of a therapeutic material which binds to and interacts with galectins. The therapeutic material can enhance apoptosis thereby increasing the effectiveness of oncolytic agents. It can also inhibit angiogenesis thereby moderating tumor growth and/or metastasis.

L9 ANSWER 3 OF 116 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:60140 CAPLUS
DOCUMENT NUMBER: 140:117391
TITLE: Formulations for reducing toxicity of anti-infective agents
INVENTOR(S): Hausheer, Frederick
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 11 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004014730	A1	20040122	US 2002-192377	20020710 <--

PRIORITY APPLN. INFO.: US 2002-192377 20020710

AB This invention provides for pharmaceutical formulations of compds. that are useful as protective agents when administered to patients also receiving anti-infective drugs, such as antimicrobials, antifungals, or antivirals. The invention also includes methods of reducing the toxicity of various anti-infective agents by administering an effective amount of the protective agent to a patient receiving one or more anti-infective agents. The compds. that are useful as protective agents have either a sulfhydryl moiety or are reducible disulfides. Sodium phosphates are dissolved in sterile injectable water to a final concentration of 0.5% water. A suitable amount

of sodium deoxycholate is added and the final pH of the injectable solution is in the range 2.0-6.0. One part by weight of pure amphotericin B is added to the mixture sodium phosphates. The amphotericin B is allowed to completely dissolve at room temperature and a suitable amount of cholesteryl sulfate is added to complex the amphotericin B. Disodium 2,2'-dithiobisethanesulfonate (15 parts) are added to the above mixture. This mixture is agitated until complete dissoln. occurs and this solution is sterilized via filtration through a sterile filter. This sterile solution is stored in sterile injection vials, wherein each vial contains approx. 5 mg amphotericin B and 15 g 2,2'-dithiobisethanesulfonate in the final solution

L9 ANSWER 4 OF 116 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:3450 CAPLUS
DOCUMENT NUMBER: 140:99617
TITLE: Peptide conjugates with drugs as prodrugs for activation by tissue or cell-specific proteinases
INVENTOR(S): Madison, Edwin L.; Semple, Joseph Edward; Vlasuk, George P.; Kemp, Scott Jeffrey; Komandla, Mallareddy; Siev, Daniel Vanna
PATENT ASSIGNEE(S): Corvas International, Inc., USA
SOURCE: U.S. Pat. Appl. Publ., 359 pp.

10602770

CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004001801	A1	20040101	US 2002-156214	20020523 <--

PRIORITY APPLN. INFO.: US 2002-156214 20020523

AB Conjugates of peptides with drugs that are substrates of a tissue-specific proteinases that can be used to treat diseases associated with abnormal levels of the enzyme. The enzyme may be transmembrane serine proteinase, a urokinase, or an endotheliase. The conjugates are to be substrates for proteinases that may be cell- or tissue-specific. The drug moiety of the conjugate may be cytotoxic. The drug may be bound to the peptide by a labile linker that will eliminate itself after the preliminary hydrolysis.

L9 ANSWER 5 OF 116 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:1007723 CAPLUS
DOCUMENT NUMBER: 140:53374
TITLE: Detection of tubulin mutations leading to paclitaxel resistance in human tumor cells
INVENTOR(S): Cabral, Fernando
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 74 pp., Cont.-in-part of U.S. Ser. No. 574,099.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003235855	A1	20031225	US 2003-439616	20030516 <--

PRIORITY APPLN. INFO.: US 1999-135047P P 19990520
US 2000-574099 A2 20000518

AB Tubulin mutations commonly associated with resistance to paclitaxel are defined, and PCR allele-specific primers capable of detecting the mutations in DNA from tumor cells are described as well as method for treating paclitaxel-resistant cells in tumors. A simple, rapid, and cost effective means for detecting paclitaxel-resistant cells in tumor biopsies from patients receiving paclitaxel therapy is disclosed. Paclitaxel resistance is associated with lower ds.p. of microtubules and dependence is associated with very low levels of polymerization Mutations were clustered in

a 14 amino acid peptide (214-threonine-228-leucine) with many of the mutations affecting leucine residues in the peptide. Further, many of the substitutions required at least two nucleotide changes. Probes that can be used to detect such substitutions are described.

L9 ANSWER 6 OF 116 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:1007104 CAPLUS
DOCUMENT NUMBER: 140:35931
TITLE: Methods for treatment of acute lymphocytic leukemia
INVENTOR(S): Grupp, Stephan A.; Brown, Valerie I.
PATENT ASSIGNEE(S): The Children's Hospital of Philadelphia, USA
SOURCE: PCT Int. Appl., 70 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003106622	A2	20031224	WO 2003-US17552	20030530

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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2004039010	A1	20040226	US 2003-453056	20030530 <--
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PRIORITY APPLN. INFO.: US 2002-384245P P 20020530

AB Methods for treating patients having an early B cell-derived acute lymphoblastic leukemia with rapamycin or a derivative thereof are provided. Also provided are methods for treating patients having an early B cell derived acute lymphoblastic leukemia with rapamycin or a derivative thereof in combination with an IL-7 inhibitor. Finally methods for preventing GVHD in ALL patients following a bone marrow transplant are disclosed.

L9 ANSWER 7 OF 116 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2003:1006754 CAPLUS

DOCUMENT NUMBER: 140:35926

TITLE: Combination of **epothilone** analogs and chemotherapeutic agents for the treatment of proliferative diseases

INVENTOR(S): Voi, Maurizio; Lebowhl, David

PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA

SOURCE: PCT Int. Appl., 32 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003105828	A1	20031224	WO 2003-US18733	20030612

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2004024032	A1	20040205	US 2003-459972	20030612 <--
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PRIORITY APPLN. INFO.: US 2002-388702P P 20020614

OTHER SOURCE(S): MARPAT 140:35926

AB Compns. and methods are disclosed which are useful of the treatment and prevention of proliferative diseases. The invention discloses the use of **epothilone** analogs and chemotherapeutic agents (e.g. carboplatin) for the treatment of proliferative diseases, e.g. solid tumors and refractory tumors.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 8 OF 116 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2003:971730 CAPLUS

DOCUMENT NUMBER: 140:27844

TITLE: Preparation of tricyclic antitumor compounds as farnesyl protein transferase inhibitors

INVENTOR(S): Zhu, Hugh Y.; Njoroge, F. George; Cooper, Alan B.; Guzi, Timothy; Rane, Dinanath F.; Minor, Keith P.; Doll, Ronald J.; Girijavallabhan, Viyyoor M.; Santhanam, Bama; Pinto, Patrick A.; Vibulbhan, Banacha; Keertikar, Kartik M.; Alvarez, Carmen S.; Baldwin, John J.; Li, Ge; Huang, Chia-Yu; James, Ray A.; Bishop, W. Robert; Wang, James J. S.; Desai, Jagdish A.

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 519 pp., Cont.-in-part of U.S. Pat. Appl. 2002 198,216.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003229099	A1	20031211	US 2002-85896	20020227 <--
US 2002198216	A1	20021226	US 2001-940811	20010828 <--
WO 2003072549	A1	20030904	WO 2003-US5479	20030225
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SC, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UZ, VC, VN, YU, ZA, ZM, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

PRIORITY APPLN. INFO.: US 2000-229183P P 20000830
US 2001-940811 A2 20010828
US 2002-85896 A 20020227
US 2002-325896 A 20021219

OTHER SOURCE(S): MARPAT 140:27844
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I; one of a, b, d, e = N, N:O; remaining a, b, d, e = C (wherein each C atom has an R1 or R2 bound to said carbon); or each a, b, d, e = C (wherein each C atom has an R1 or R2); R1-R4 = H, halo, CF3,

02/27/2004

alkoxy, etc.; R5-R7, R9 = H, CF₃, alkyl, aryl, etc.; R8 = H, alkoxy, carbonyl, aryloxy, carbonyl, alkyl, sulfonyl, aryl, sulfonyl, etc.; dotted line = single or double bond; X = N, CH; A, B = (un)substituted CH, CH₂, their stereoisomers, pharmaceutically acceptable salts, solvates, and prodrugs which are useful for inhibiting farnesyl protein transferase, were prepared. E.g., a multi-step synthesis of II, was given. The compds. I have an FTP IC₅₀ in the range of 0.05 nM to 100 nM. Also disclosed are pharmaceutical compns. comprising title compds. I as well as methods of using them to treat proliferative diseases such as cancer.

L9 ANSWER 9 OF 116 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2003:836596 CAPLUS

DOCUMENT NUMBER: 139:333137

TITLE: Method for preventing and/or treating peripheral neuropathies induced by the administration of an anticancer agent

INVENTOR(S): Cavazza, Claudio; Pisano, Claudio; Vesci, Loredana

PATENT ASSIGNEE(S): Italy

SOURCE: U.S. Pat. Appl. Publ., 26 pp., Cont.-in-part of U.S. Ser. No. 769,488.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003199535	A1	20031023	US 2002-292823	20021113 <--
WO 2000006134	A2	20000210	WO 1999-IT242	19990727
WO 2000006134	A3	20000323		

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

US 2001044465	A1	20011122	US 2001-769488	20010126 <--
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US 6610699	B2	20030826		
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PRIORITY APPLN. INFO.:

IT 1998-IT511	A	19980730
IT 1999-IT206	A	19990407
WO 1999-IT242	A1	19990727
US 2001-769488	A2	20010126
IT 1998-RM511	A	19980730
IT 1999-RM206	A	19990407

OTHER SOURCE(S): MARPAT 139:333137

AB A method for preventing and/or treating peripheral neuropathies induced by the administration of an anticancer agent of the family of platin compds., taxanes, **epothilone** class, vinca alkaloids is disclosed, said method comprising the administration in a coordinated manner to a subject suffering from said peripheral neuropathies, or expected to suffer from said peripheral neuropathies, an effective amount of acetyl L-carnitine or of a pharmaceutically acceptable salt thereof. In case of prevention, acetyl L-carnitine is administered to a subject, in view of the need of a treatment with an anticancer agent, immediately before or immediately after surgical removal of the tumor, but in any case before the administration of the anticancer agent. Acetyl L-carnitine can enhance

the supportive effect of physiol. NGF during chemotherapy-induced neuropathy, thus avoiding the problem of the local and general side effects of the exogenous administration of NGF which are a major problem of this neuroprotective strategy. Acetyl L-carnitine gave statistically significant protection against taxol-induced neurotoxicity in rats.

L9 ANSWER 10 OF 116 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2003:836572 CAPLUS

DOCUMENT NUMBER: 139:317452

TITLE: pharmaceutical compositions comprising an antiproliferation drug and a biocompatible protein for treatment of hyperplasia

INVENTOR(S): Desai, Neil P.; Soon-Shiong, Patrick

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 16 pp., Cont.-in-part of U.S. Ser. No. 446,783.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 18

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003199425	A1	20031023	US 2001-847945	20010502 <--
WO 9900113	A1	19990107	WO 1998-US13272	19980626
W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
WO 2002087545	A1	20021107	WO 2002-US14118	20020502
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
EP 1390014	A1	20040225	EP 2002-731657	20020502
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			

PRIORITY APPLN. INFO.:

US 1997-51021P	P	19970627
WO 1998-US13272	A	19980626
US 2000-446783	A2	20000516
US 1997-926155	A2	19970909
US 2001-847945	A1	20010502
WO 2002-US14118	W	20020502

AB In accordance with the present invention, there are provided methods for treating hyperplasia in a subject in need thereof. In another aspect of the invention, there are provided methods for reducing neointimal hyperplasia associated with vascular interventional procedures. Formulations contemplated for use herein comprise proteins and at least one pharmaceutically active agent. For example, paclitaxel nanoparticles

dispersed in human albumins were found to reduce smooth muscle proliferation and migration in the in-stent arterial restenosis rabbit model.

=> LOG Y

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

68.15

68.36

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

CA SUBSCRIBER PRICE

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-11.09

STN INTERNATIONAL LOGOFF AT 12:20:29 ON 27 FEB 2004